## **Claims**

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- 1. A method of screening for therapeutic agents useful in the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising the steps of
  - i) contacting a test compound with a KLKB1 polypeptide,
  - ii) detect binding of said test compound to said KLKB1 polypeptide.
- 2. A method of screening for therapeutic agents useful in the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising the steps of
  - i) determining the activity of a KLKB1 polypeptide at a certain concentration of a test compound or in the absence of said test compound,
    - ii) determining the activity of said polypeptide at a different concentration of said test compound.
- 3. A method of screening for therapeutic agents useful in the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising the steps of
  - i) determining the activity of a KLKB1 polypeptide at a certain concentration of a test compound,
- determining the activity of a KLKB1 polypeptide at the presence of a compound known to be a regulator of a KLKB1 polypeptide.
  - 4. The method of any of claims 1 to 3, wherein the step of contacting is in or at the surface of a cell.
  - 5. The method of any of claims 1 to 3, wherein the cell is in vitro.

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6. The method of any of claims 1 to 3, wherein the step of contacting is in a cell-free system.

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- 7. The method of any of claims 1 to 3, wherein the polypeptide is coupled to a detectable label.
- 8. The method of any of claims 1 to 3, wherein the compound is coupled to a detectable label.
- 5 9. The method of any of claims 1 to 3, wherein the test compound displaces a ligand which is first bound to the polypeptide.
  - 10. The method of any of claims 1 to 3, wherein the polypeptide is attached to a solid support.
  - 11. The method of any of claims 1 to 3, wherein the compound is attached to a solid support.
- 12. A method of screening for therapeutic agents useful in the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising the steps of
  - i) contacting a test compound with a KLKB1 polynucleotide,
- ii) detect binding of said test compound to said KLKB1 polynucleotide.
  - 13. The method of claim 12 wherein the nucleic acid molecule is RNA.
  - 14. The method of claim 12 wherein the contacting step is in or at the surface of a cell.
  - 15. The method of claim 12 wherein the contacting step is in a cell-free system.
  - 16. The method of claim 12 wherein polynucleotide is coupled to a detectable label.
- 20 17. The method of claim 12 wherein the test compound is coupled to a detectable label.

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- 18. A method of diagnosing a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscleskeleton disorders, neurological disorders and urological disorders in a mammal comprising the steps of
  - i) determining the amount of a KLKB1 polynucleotide in a sample taken from said mammal,

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- ii) determining the amount of KLKB1 polynucleotide in healthy and/or diseased mammals.
- 19. A pharmaceutical composition for the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising a therapeutic agent which binds to a KLKB1 polypeptide.
- 20. A pharmaceutical composition for the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising a therapeutic agent which regulates the activity of a KLKB1 polypeptide.
- 21. A pharmaceutical composition for the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising a therapeutic agent which regulates the activity of a KLKB1 polypeptide, wherein said therapeutic agent is
- i) a small molecule,

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- ii) an RNA molecule,
- iii) an antisense oligonucleotide,
- iv) a polypeptide,
- v) an antibody, or
- vi) a ribozyme.

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A pharmaceutical composition for the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising a KLKB1 polynucleotide.

- 23. A pharmaceutical composition for the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising a KLKB1 polypeptide.
- 24. Use of regulators of a KLKB1 for the preparation of a pharmaceutical composition for the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal.
- 25. Method for the preparation of a pharmaceutical composition useful for the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising the steps of
  - i) identifying a regulator of KLKB1,

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- determining whether said regulator ameliorates the symptoms of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal; and
- iii) combining of said regulator with an acceptable pharmaceutical carrier.
- 26. Use of a regulator of KLKB1 for the regulation of KLKB1 activity in a mammal having a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders.